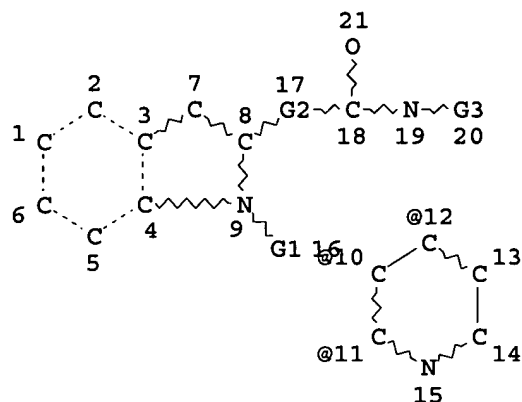


=> d l1  
 L1 HAS NO ANSWERS  
 L1 STR



VAR G1=12/10/11  
 REP G2=(0-10) A  
 VAR G3=CY/O  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC 11 9  
 NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

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 FULL SCREEN SEARCH COMPLETED - 12717 TO ITERATE

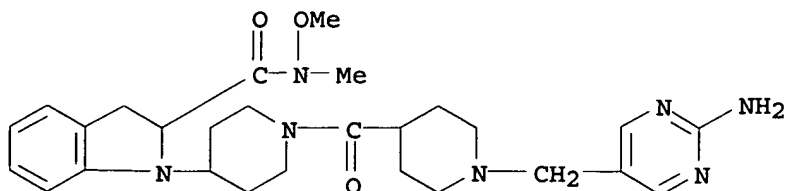
100.0% PROCESSED 12717 ITERATIONS  
 SEARCH TIME: 00.00.02

2 ANSWERS

L3 2 SEA SSS FUL L1

=> d 1-2

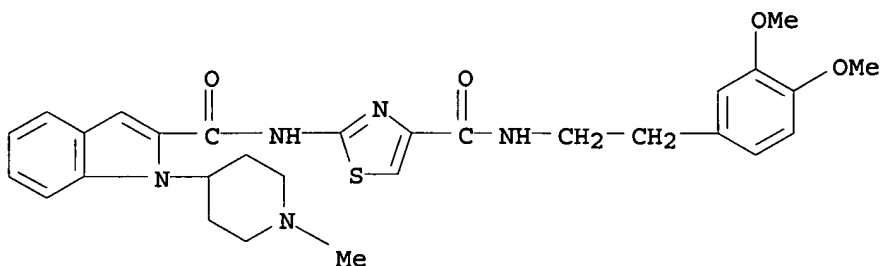
L3 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 639506-35-7 REGISTRY  
 ED Entered STN: 20 Jan 2004  
 CN 1H-Indole-2-carboxamide, 1-[1-[[1-[(2-amino-5-pyrimidinyl)methyl]-4-piperidinyl]carbonyl]-4-piperidinyl]-2,3-dihydro-N-methoxy-N-methyl- (9CI)  
 (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C27 H37 N7 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 189511-31-7 REGISTRY  
ED Entered STN: 06 Jun 1997  
CN 1H-Indole-2-carboxamide, N-[4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbon  
yl]-2-thiazolyl]-1-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C29 H33 N5 O4 S  
SR CA  
LC STN Files: CA, CAPLUS



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
172.06	172.27

FILE 'CAPLUS' ENTERED AT 08:50:10 ON 17 MAY 2006  
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FILE COVERS 1907 - 17 May 2006 VOL 144 ISS 21  
FILE LAST UPDATED: 16 May 2006 (20060516/ED)

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<http://www.cas.org/infopolicy.html>

=> s 13

L4 2 L3

=> d bib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:2876 CAPLUS

DN 140:59522

TI Preparation of indole derivatives as histamine H3 antagonists

IN Aslanian, Robert G.; Berlin, Michael Y.; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.

PA Schering Corporation, USA

SO PCT Int. Appl., 62 pp.

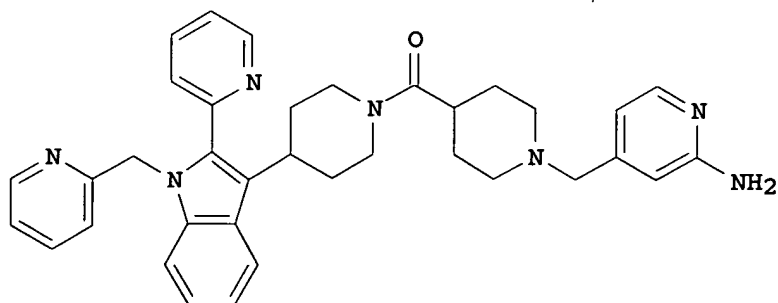
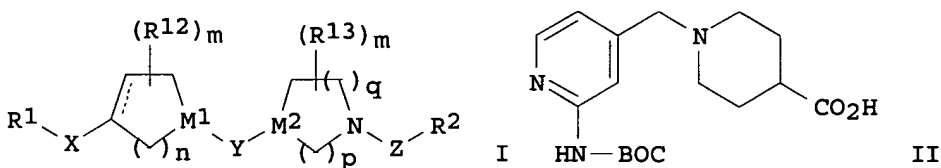
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000831	A1	20031231	WO 2003-US19619	20030620
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2489337	AA	20031231	CA 2003-2489337	20030620
	AU 2003243709	A1	20040106	AU 2003-243709	20030620
	US 2004019099	A1	20040129	US 2003-600674	20030620
	US 6951871	B2	20051004		
	EP 1539742	A1	20050615	EP 2003-761216	20030620
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	CN 1662524	A	20050831	CN 2003-814717	20030620
	JP 2005531615	T2	20051020	JP 2004-516072	20030620
	ZA 2004010213	A	20051020	ZA 2004-10213	20041217
PRAI	US 2002-390987P	P	20020624		
	WO 2003-US19619	W	20030620		
OS	MARPAT 140:59522				
GI					



III

AB Title compds. I [wherein R1 = (un)substituted indolyl or an aza derivative thereof; R2 = (un)substituted (hetero)aryl, quinolyl, heterocycloalkyl; R12, R13 = alkyl, hydroxyl, alkoxy, etc., or R13 = O; m = independently 0-3; n = 1-3; p = 1-3; q = 1-5; X = a bond or alkylene; Y = CO, CS, COCH2, etc.; Z = a bond, alkylene, alkenylene, CO, etc.; M1 = CH or N; M2 = CR3 or N; and salts or solvates thereof] were prepared as histamine H3 antagonists in treatment of H3 receptor related diseases. For example, reaction of II with 3-(4-piperidiny1)-2-(2-pyridinyl)indole, followed by deprotection and substitution with 2-chloromethylpyridine gave III, which showed 1.50 nM binding constant with histamine H3. Thus, I and their pharmaceutical compds., as well as in combination with H1 receptor antagonists, are useful as histamine H3 antagonists for the treatment of inflammatory diseases, allergic conditions and central nervous system disorders (no data).

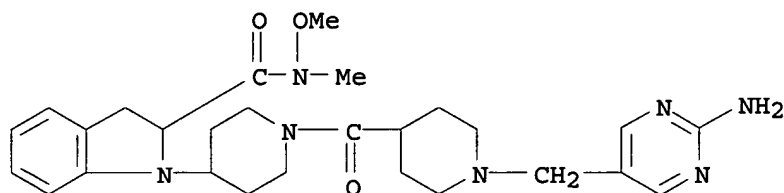
IT 639506-35-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as histamine H3 antagonists)

RN 639506-35-7 CAPLUS

CN 1H-Indole-2-carboxamide, 1-[1-[[1-[(2-amino-5-pyrimidinyl)methyl]-4-piperidiny1]carbonyl]-4-piperidiny1]-2,3-dihydro-N-methoxy-N-methyl- (9CI)  
(CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STM

AN 1997:365840 CAPLUS

DN 127:17674

TI Preparation and formulation of thiazole derivatives as cell adhesion inhibitors

IN Sasho, Setsuya; Koshimura, Hirokazu; Kamisaka, Noriaki; Miki, Ichiro; Kuno, Yukako; Kumazawa, Toshiaki; Sekine, Shin

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 42 pp.

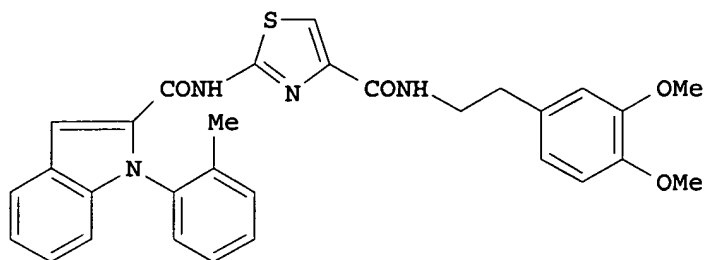
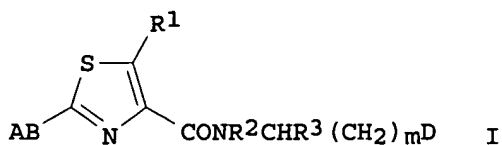
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09087282	A2	19970331	JP 1995-242793	19950921
PRAI	JP 1995-242793		19950921		
OS	MARPAT 127:17674				
GI					



II

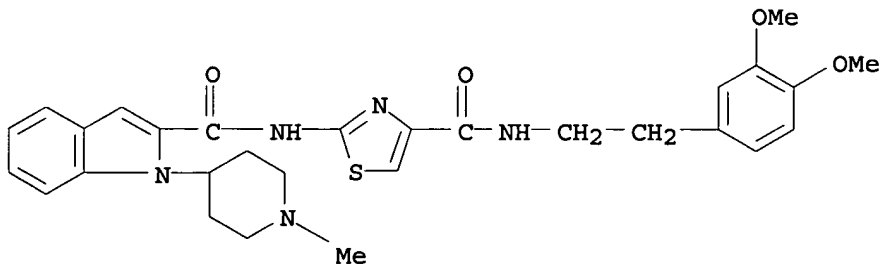
AB The title compds. I [R<sup>1</sup> = H, alkyl, etc.; R<sup>2</sup>, R<sup>3</sup> = H, alkyl; A = heterocyclic moiety (generic structure given), etc.; B = CONR<sup>7</sup>, etc.; R<sup>7</sup> = H, alkyl; m = 0 or 1; D = (un)substituted aryl, etc.] are prepared I are useful as inflammation inhibitors (no data). The title compound II in vitro showed IC<sub>50</sub> of 0.049  $\mu$ M against the adhesion of HL60 cells to human umbilical vein endothelial cells.

IT 189511-31-7P

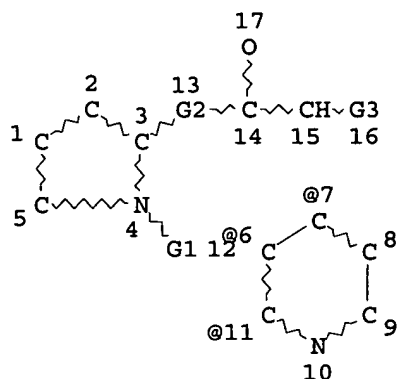
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and formulation of thiazole derivs. as cell adhesion inhibitors)

RN 189511-31-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]-2-thiazolyl]-1-(1-methyl-4-piperidyl)- (9CI) (CA INDEX NAME)



=> d l1  
 L1 HAS NO ANSWERS  
 L1 STR



VAR G1=7/6/11  
 REP G2=(0-10) CH  
 VAR G3=O/S  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC 1 6  
 NUMBER OF NODES IS 17

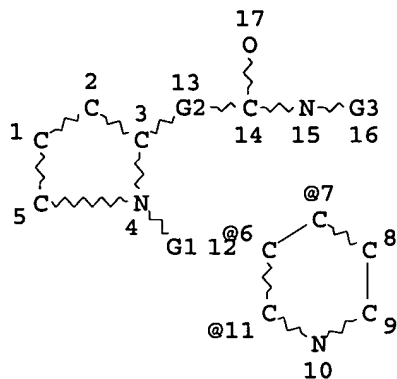
STEREO ATTRIBUTES: NONE

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 FULL SCREEN SEARCH COMPLETED - 502 TO ITERATE

100.0% PROCESSED 502 ITERATIONS  
 SEARCH TIME: 00.00.01

0 ANSWERS

L3 0 SEA SSS FUL L1



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VAR G1=7/6/11
REP G2=(0-10) CH
VAR G3=HY/O
ENTER (DIS), GRA, NOD, BON OR ?:end
L4  STRUCTURE CREATED

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=> s l4
SAMPLE SEARCH INITIATED 08:41:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      218 TO ITERATE

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100.0% PROCESSED      218 ITERATIONS      0 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:   3475 TO    5245
PROJECTED ANSWERS:      0 TO      0

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L5          0 SEA SSS SAM L4

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FULL SCREEN SEARCH COMPLETED -      4550 TO ITERATE

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SEARCH TIME: 00.00.01

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L6          1 SEA SSS FUL L4

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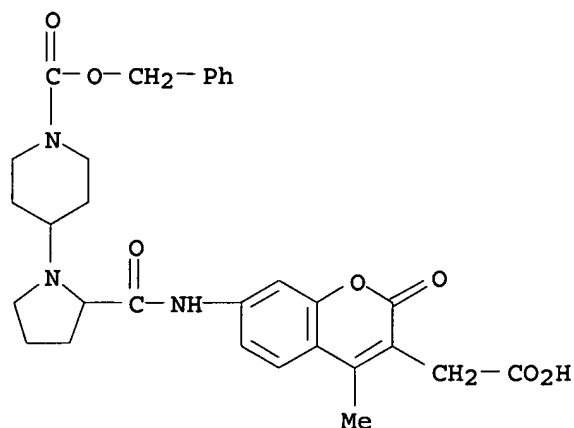
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L6  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   869203-86-1  REGISTRY
ED   Entered STN:  02 Dec 2005
CN   1-Piperidinecarboxylic acid, 4-[2-[[[3-(carboxymethyl)-4-methyl-2-oxo-2H-1-
      benzopyran-7-yl]amino]carbonyl]-1-pyrrolidinyl]-, 1-(phenylmethyl) ester
      (9CI) (CA INDEX NAME)
FS   3D CONCORD
MF   C30 H33 N3 O7
SR   CA
LC   STN Files:   CA, CAPLUS

```



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
338.42	338.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 08:42:03 ON 17 MAY 2006  
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FILE COVERS 1907 - 17 May 2006 VOL 144 ISS 21  
FILE LAST UPDATED: 16 May 2006 (20060516/ED)

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<http://www.cas.org/infopolicy.html>

=> s 16  
L7 1 L6

=> d bib abs hitstr

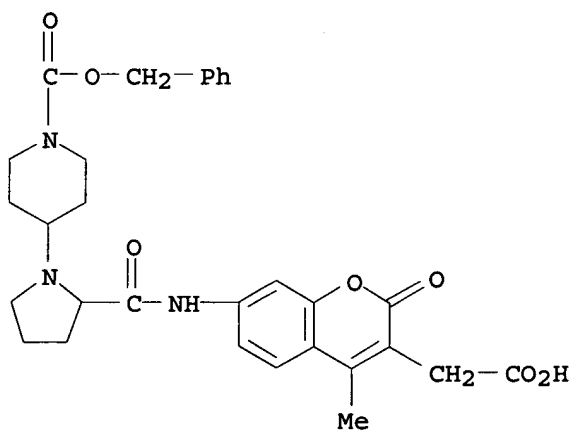
L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2005:1094902 CAPLUS  
DN 143:452160  
TI Substrate Activity Screening: A Fragment-Based Method for the Rapid Identification of Nonpeptidic Protease Inhibitors  
AU Wood, Warren J. L.; Patterson, Andrew W.; Tsuruoka, Hiroyuki; Jain, Rishi



K.; Ellman, Jonathan A.  
 CS Department of Chemistry, University of California-Berkeley, Berkeley, CA, 94720, USA  
 SO Journal of the American Chemical Society (2005), 127(44), 15521-15527  
 CODEN: JACSAT; ISSN: 0002-7863  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB A new fragment-based method for the rapid development of novel and distinct classes of nonpeptidic protease inhibitors, Substrate Activity Screening (SAS), is described. This method consists of three steps: (1) a library of N-acyl aminocoumarins with diverse, low mol. weight N-acyl groups is screened to identify protease substrates using a simple fluorescence-based assay, (2) the identified N-acyl aminocoumarin substrates are optimized by rapid analog synthesis and evaluation, and (3) the optimized substrates are converted to inhibitors by direct replacement of the aminocoumarin with known mechanism-based pharmacophores. The SAS method was successfully applied to the cysteine protease cathepsin S, which is implicated in autoimmune diseases. Multiple distinct classes of nonpeptidic substrates were identified upon screening an N-acyl aminocoumarin library. Two of the nonpeptidic substrate classes were optimized to substrates with >8000-fold improvements in cleavage efficiency for each class. Select nonpeptidic substrates were then directly converted to low mol. weight, novel aldehyde inhibitors with nanomolar affinity to cathepsin S. This study demonstrates the unique characteristics and merits of this first substrate-based method for the rapid identification and optimization of weak fragments and provides the framework for the development of completely nonpeptidic inhibitors to many different proteases.

IT 869203-86-1  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Substrate Activity Screening of a fragment-based method for rapid identification of nonpeptidic protease inhibitors)

RN 869203-86-1 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[2-[[[3-(carboxymethyl)-4-methyl-2-oxo-2H-1-benzopyran-7-yl]amino]carbonyl]-1-pyrrolidinyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT